

Applicants: Frederick VanGoor et al.

Application No.: 10/800,022

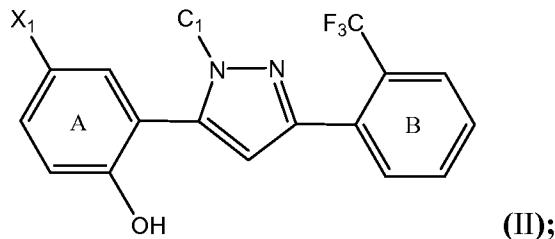
AMENDMENTS

Please replace all prior versions and listings of claims with the amended claims as follows:

IN THE CLAIMS

1-51. (previously canceled)

52. (previously amended) A compound of formula (II):



or a pharmaceutically acceptable salt thereof, wherein:

C_1 is ~~H, aryl, heterocyclic, heteroaryl, aliphatic, $C(O)R^2$, $C(O)R^3$, $C(O)NH_2$, $C(O)NH$~~ R^2 , $C(O)NHR^3$, $C(O)N(R^2)_2$, $C(O)N(R^3)_2$;

X_1 is selected from halo, R^2 , CF_3 , CN , $COOH$, $COOR$, $C(O)R$, $C(O)NH_2$, $C(O)NHR$, or $C(O)N(R)_2$;

each R is independently R^2 or R^3 ;

wherein each of ring B, optionally including X_1 and OH, and C_1 optionally comprises up to 4 substituents, and ring A optionally comprises up to 3 substituents, wherein said substituents are independently selected from R^1 , R^2 , R^3 , R^4 , or R^5 ;

R^1 is R^6 or $(CH_2)_n-Y$;

n is 0, 1 or 2;

Y is halo, CN, NO_2 , CF_3 , CHF_2 , CH_2F ,

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OCF₃, OH, SCHF₂, SR⁶, S(O)R⁶, SO₂R⁶, NH₂, NHR⁶, N(R⁶)₂, NR⁶R⁸, COOH, COOR⁶ or OR⁶; or

two R¹ on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

R² is aliphatic, wherein each R² optionally comprises up to 2 substituents independently selected from R¹, R⁴, or R⁵;

R³ is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3 substituents, independently selected from R¹, R², R⁴ or R⁵;

R⁴ is OR⁵, OR⁶, OC(O)R⁶, OC(O)R⁵, OC(O)OR⁶, OC(O)OR⁵, OC(O)N(R⁶)₂, OC(O)N(R⁵)₂, OC(O)N(R⁶R⁵), OP(O)(OR⁶)₂, OP(O)(OR⁵)₂, OP(O)(OR⁶)(OR⁵), SR⁶, SR⁵, S(O)R⁶, S(O)R⁵, SO₂R⁶, SO₂R⁵, SO₂N(R⁶)₂, SO₂N(R⁵)₂, SO₂NR⁵R⁶, SO₃R⁶, SO₃R⁵, C(O)R⁵, C(O)OR⁵, C(O)R⁶, C(O)OR⁶, C(O)N(R⁶)₂, C(O)N(R⁵)₂, C(O)N(R⁵R⁶), C(O)N(OR⁶)R⁶, C(O)N(OR⁵)R⁶, C(O)N(OR⁶)R⁵, C(O)N(OR⁵)R⁵, C(NOR⁶)R⁶, C(NOR⁶)R⁵, C(NOR⁵)R⁶, C(NOR⁵)R⁵, N(R⁶)₂, N(R⁵)₂, N(R⁵R⁶), NR⁵C(O)R⁵, NR⁶C(O)R⁶, NR⁶C(O)OR⁶, NR⁵C(O)OR⁶, NR⁶C(O)OR⁵, NR⁵C(O)OR⁵, NR⁶C(O)N(R⁶)₂, NR⁶C(O)NR⁵R⁶, NR⁶C(O)N(R⁵)₂, NR⁵C(O)N(R⁶)₂, NR⁵C(O)NR⁵R⁶, NR⁵C(O)N(R⁵)₂, NR⁶SO₂R⁶, NR⁶SO₂R⁵, NR⁵SO₂R⁵, NR⁶SO₂N(R⁶)₂, NR⁶SO₂NR⁵R⁶, NR⁶SO₂N(R⁵)₂, NR⁵SO₂NR⁵R⁶, NR⁵SO₂N(R⁵)₂, N(OR⁶)R⁶, N(OR⁶)R⁵, N(OR⁵)R⁵, N(OR⁵)R⁶, P(O)(OR⁶)N(R⁶)₂, P(O)(OR⁶)N(R⁵R⁶), P(O)(OR⁶)N(R⁵)₂, P(O)(OR⁵)N(R⁵R⁶), P(O)(OR⁵)N(R⁶)₂, P(O)(OR⁵)N(R⁵)₂, P(O)(OR⁶)₂, P(O)(OR⁵)₂, or P(O)(OR⁶)(OR⁵);

R⁵ is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3 R¹ substituents;

R⁶ is H or aliphatic, wherein R⁶ optionally comprises a R⁷ substituent;

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R⁷ is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each R⁷ optionally comprising up to 2 substituents independently chosen from H, (C₁-C₆)-straight or branched alkyl, (C₂-C₆) straight or branched alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or (CH₂)_n-Z;

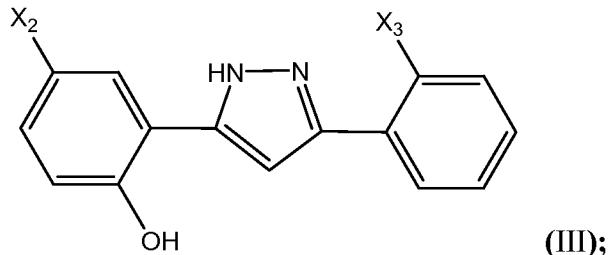
Z is selected from halo, CN, NO₂, CHF₂, CH₂F, CF₃, OCF₃, OH, SCHF₂, S-aliphatic, S(O)-aliphatic, SO₂-aliphatic, NH₂, N-aliphatic, N(aliphatic)₂, N(aliphatic)R⁸, COOH, C(O)O(-aliphatic), or O-aliphatic; and

R⁸ is an amino protecting group.

53. (previously canceled)

54. (previously amended) The compound according to claim 53, wherein X₁ is selected from (C₁-C₄)-aliphatic, or C(O)-NH₂F.

55. (previously amended) A compound having formula (III):



or a pharmaceutically acceptable salt thereof, wherein:

X₂ is selected from halo, R², CF₃, CN, COOH, COOR², COOR³, C(O)R², C(O)R³, C(O)NH₂, C(O)NHR, or C(O)NR²;

X₃ is selected from H, halo, CF₃, or NO₂;

each R is independently R² or R³;

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R¹ is oxo, R⁶ or (CH₂)_n-Y;

n is 0, 1 or 2;

Y is halo, CN, NO₂, CHF₂, CH₂F, CF₃, OCF₃, OH, SCHF₂, SR⁶, S(O)R⁶, SO₂R⁶, NH₂, NHR⁶, N(R⁶)₂, NR⁶R⁸, COOH, COOR⁶ or OR⁶; or

two R¹ on adjacent ring atoms, taken together, form 1,2-methylenedioxy, 1,2-difluoromethylenedioxy, or 1,2-ethylenedioxy;

R² is aliphatic, wherein each R² optionally comprises up to 2 substituents independently selected from R¹, R⁴, or R⁵;

R³ is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3 substituents, independently selected from R¹, R², R⁴ or R⁵;

R⁴ is OR⁵, OR⁶, OC(O)R⁶, OC(O)R⁵, OC(O)OR⁶, OC(O)OR⁵, OC(O)N(R⁶)₂, OC(O)N(R⁵)₂, OC(O)N(R⁶R⁵), OP(O)(OR⁶)₂, OP(O)(OR⁵)₂, OP(O)(OR⁶)(OR⁵), SR⁶, SR⁵, S(O)R⁶, S(O)R⁵, SO₂R⁶, SO₂R⁵, SO₂N(R⁶)₂, SO₂N(R⁵)₂, SO₂NR⁵R⁶, SO₃R⁶, SO₃R⁵, C(O)R⁵, C(O)OR⁵, C(O)R⁶, C(O)OR⁶, C(O)N(R⁶)₂, C(O)N(R⁵)₂, C(O)N(R⁵R⁶), C(O)N(OR⁶)R⁶, C(O)N(OR⁵)R⁶, C(O)N(OR⁶)R⁵, C(O)N(OR⁵)R⁵, C(NOR⁶)R⁶, C(NOR⁶)R⁵, C(NOR⁵)R⁶, C(NOR⁵)R⁵, N(R⁶)₂, N(R⁵)₂, N(R⁵R⁶), NR⁵C(O)R⁵, NR⁶C(O)R⁶, NR⁶C(O)OR⁶, NR⁶C(O)OR⁵, NR⁵C(O)OR⁵, NR⁶C(O)N(R⁶)₂, NR⁶C(O)NR⁵R⁶, NR⁶C(O)N(R⁵)₂, NR⁵C(O)N(R⁶)₂, NR⁵C(O)NR⁵R⁶, NR⁵C(O)N(R⁵)₂, NR⁶SO₂R⁶, NR⁶SO₂R⁵, NR⁵SO₂R⁵, NR⁶SO₂N(R⁶)₂, NR⁶SO₂NR⁵R⁶, NR⁶SO₂N(R⁵)₂, NR⁵SO₂NR⁵R⁶, NR⁵SO₂N(R⁵)₂, N(OR⁶)R⁶, N(OR⁶)R⁵, N(OR⁵)R⁵, N(OR⁵)R⁶, P(O)(OR⁶)N(R⁶)₂, P(O)(OR⁶)N(R⁵R⁶), P(O)(OR⁶)N(R⁵)₂, P(O)(OR⁵)N(R⁵R⁶), P(O)(OR⁵)N(R⁶)₂, P(O)(OR⁵)N(R⁵)₂, P(O)(OR⁶)₂, P(O)(OR⁵)₂, or P(O)(OR⁶)(OR⁵);

R⁵ is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring optionally comprising up to 3 R¹ substituents;

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R⁶ is H or aliphatic, wherein R⁶ optionally comprises a R⁷ substituent;

R⁷ is a cycloaliphatic, aryl, heterocyclic, or heteroaryl ring and each R⁷ optionally comprising up to 2 substituents independently chosen from H, (C₁-C₆)-straight or branched alkyl, (C₂-C₆) straight or branched alkenyl or alkynyl, 1,2-methylenedioxy, 1,2-ethylenedioxy, or (CH₂)_n-Z;

Z is selected from halo, CN, NO₂, CHF₂, CH₂F,

CF₃, OCF₃, OH, SCHF₂, S-aliphatic, S(O)-aliphatic, SO₂-aliphatic, NH₂, N-aliphatic, N(aliphatic)₂, N(aliphatic)R⁸, COOH, C(O)O(-aliphatic, or O-aliphatic; and

R⁸ is an amino protecting group;

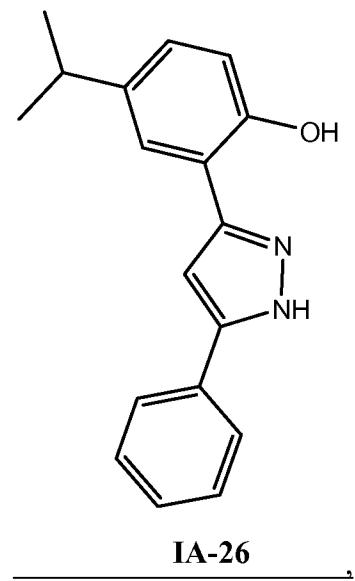
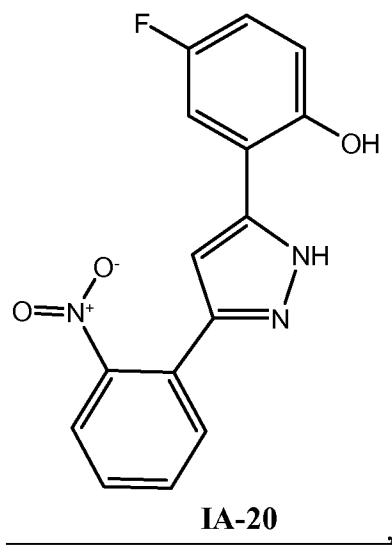
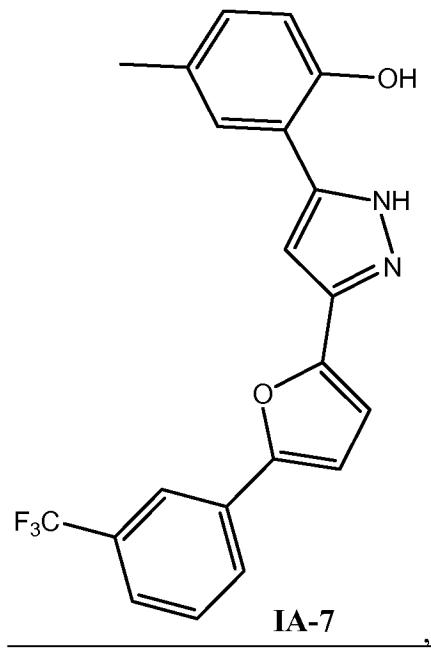
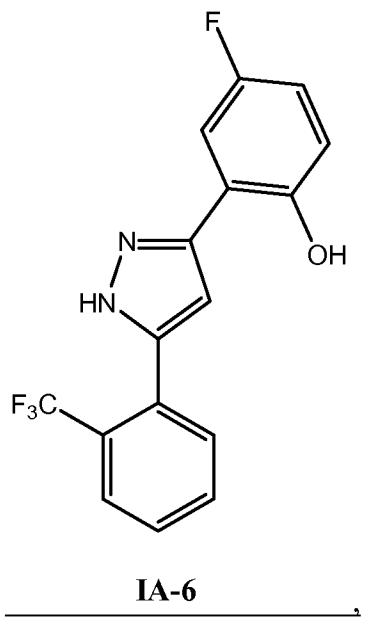
provided that:

- (i) when X₃ is H, then X₂ is not methyl, chloro, or bromo;
- (ii) when X₂ is chloro, then X₃ is not fluoro, chloro, or nitro;
- (iii) when X₂ is methyl, then X₃ is not nitro or chloro.

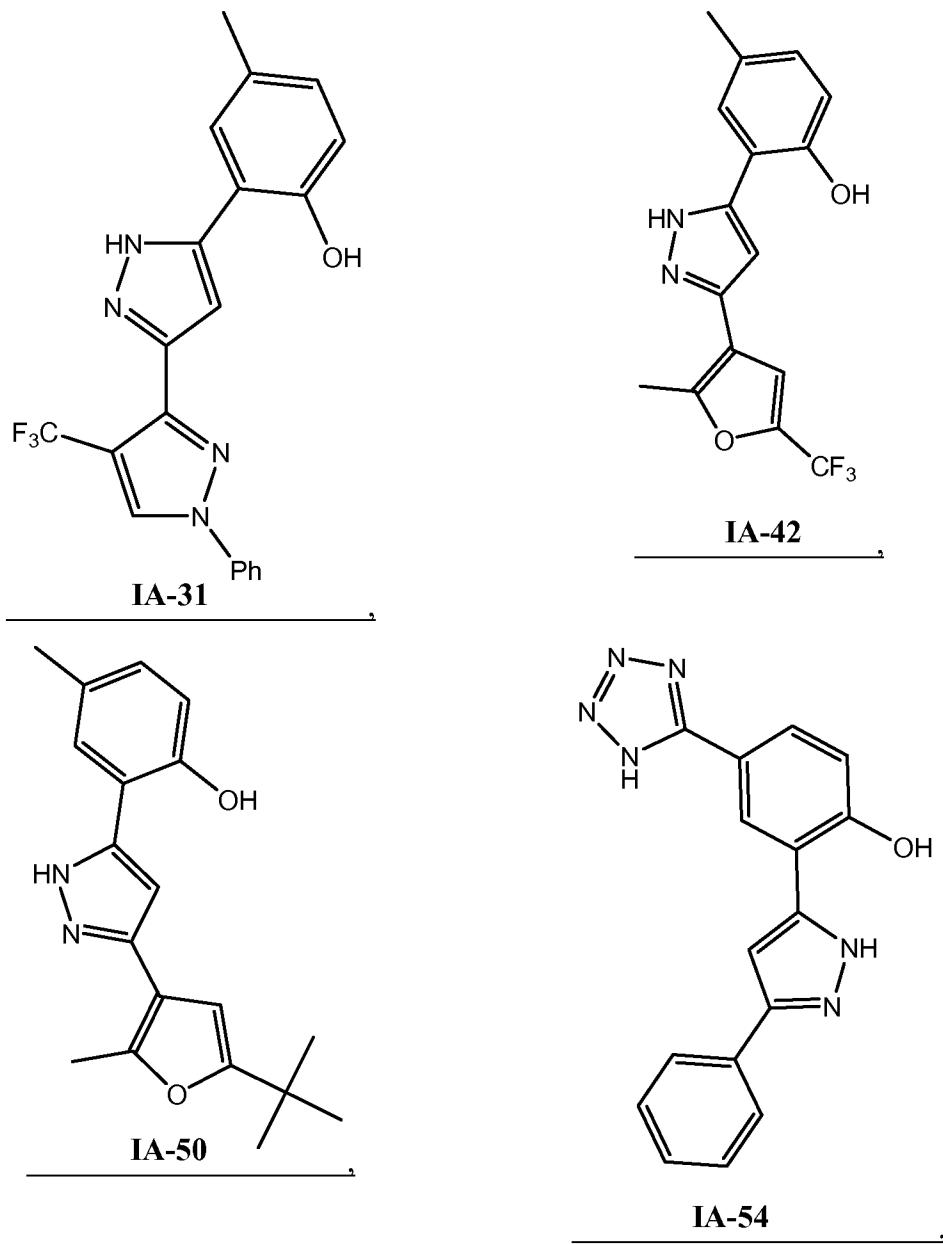
56-82. (previously canceled)

83. (previously amended) A compound selected from IA-6, IA-7, IA-20, IA-26, IA-31, IA-42, IA-50, IA-54, IA-61, IA-64, IA-76, IA-92, IA-95, or IA-107.

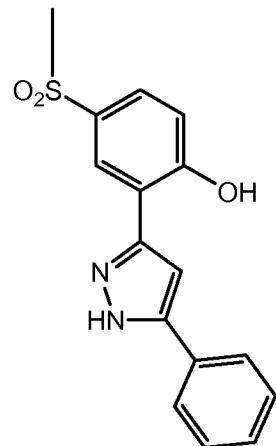
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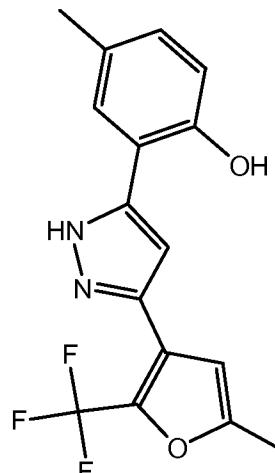
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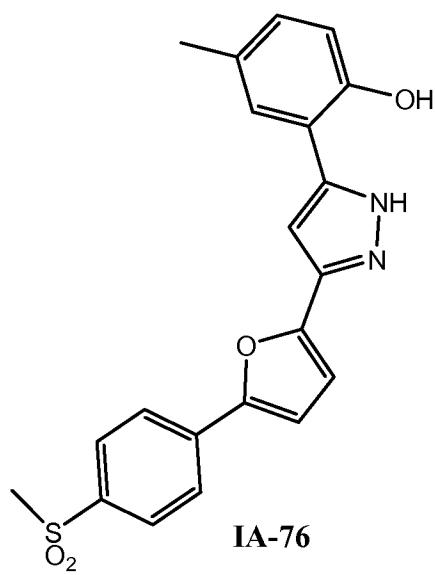
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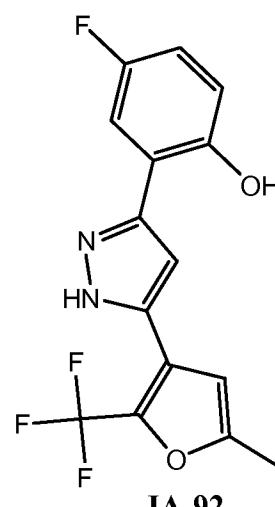
IA-61



IA-64



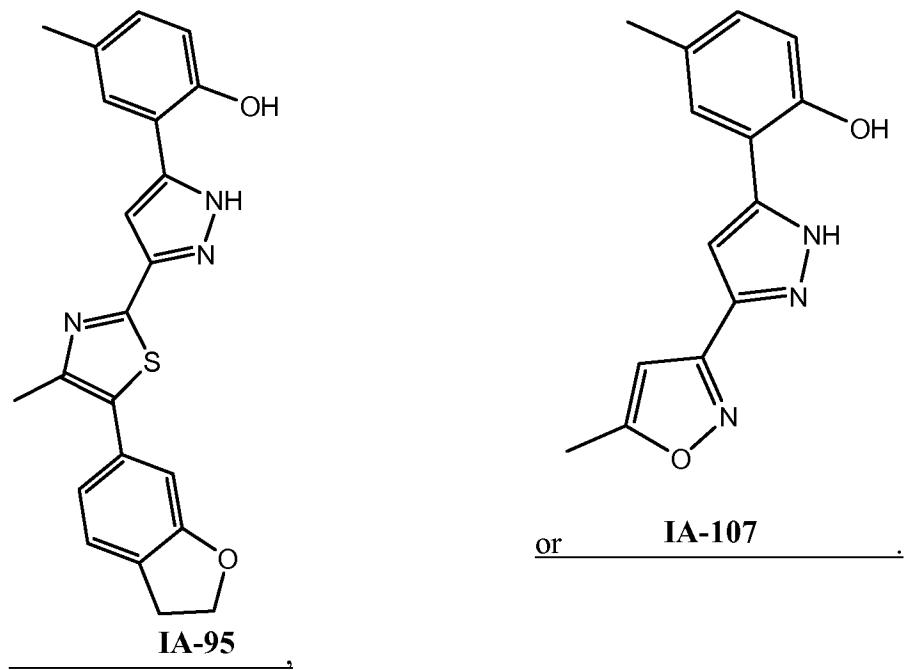
IA-76



IA-92

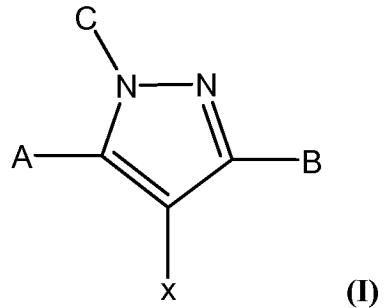
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84. (canceled)

85. (previously presented) A compound of formula (I):

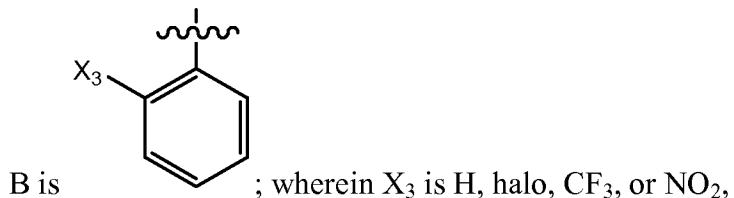
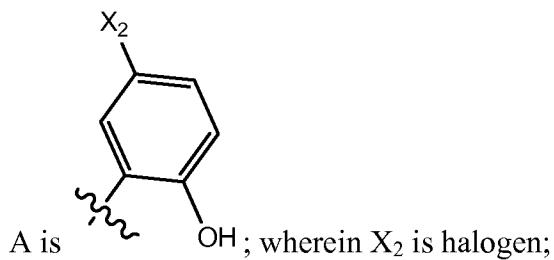


or a pharmaceutically acceptable salt thereof;

wherein:

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C is H;

X is H; and

provided that when X_3 is H, X_2 is not Cl.

86. (previously presented) The compound according to claim 85, wherein said compound has one or more of the features selected from the group:

- (a) X_3 is halo, CF_3 , or NO_2 ; and
- (b) X_2 is halo.

87. (new) A pharmaceutical composition comprising a compound according to any one of claims 52, 55, 83, 85, and 86, and a pharmaceutically acceptable carrier or adjuvant.